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Welcome to STN International! Enter x:x
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LOGINID:ssspta1612rxd

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
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NEWS
         SEP 01
                 New pricing for the Save Answers for SciFinder Wizard within
                 STN Express with Discover!
                 KOREAPAT now available on STN
NEWS
         OCT 28
         NOV 30
                 PHAR reloaded with additional data
NEWS
      5
                 LISA now available on STN
        DEC 01
NEWS
         DEC 09
                 12 databases to be removed from STN on December 31, 2004
NEWS
         DEC 15
                 MEDLINE update schedule for December 2004
NEWS
         DEC 17
NEWS
                 ELCOM reloaded; updating to resume; current-awareness
                 alerts (SDIs) affected
      10 DEC 17
                 COMPUAB reloaded; updating to resume; current-awareness
NEWS
                 alerts (SDIs) affected
                 SOLIDSTATE reloaded; updating to resume; current-awareness
NEWS
     11 DEC 17
                 alerts (SDIs) affected
NEWS
     12 DEC 17
                 CERAB reloaded; updating to resume; current-awareness
                 alerts (SDIs) affected
NEWS
     13 DEC 17
                 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS
     14 DEC 30
                 EPFULL: New patent full text database to be available on STN
                 CAPLUS - PATENT COVERAGE EXPANDED
NEWS
     15 DEC 30
NEWS 16 JAN 03
                 No connect-hour charges in EPFULL during January and
                 February 2005
                 CA/CAPLUS - Expanded patent coverage to include the Russian
     17 JAN 26
                 Agency for Patents and Trademarks (ROSPATENT)
              JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
```

AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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NEWS HOURS

NEWS INTER

NEWS PHONE

FILE 'HOME' ENTERED AT 11:33:44 ON 31 JAN 2005

=> file registry
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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 11:33:54 ON 31 JAN 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 30 JAN 2005 HIGHEST RN 823177-37-3 DICTIONARY FILE UPDATES: 30 JAN 2005 HIGHEST RN 823177-37-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> s hemiasterlin/cn

L1 1 HEMIASTERLIN/CN

=> d

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RM 157307-90-4 REGISTRY
CN L-Valinamide, N, B, B, 1-tetramethyl-L-tryptophyl-N-[(15, 22)-3carboxy-1-(1-methylethyl)-2-butenyl]-N.3-dimethyl-(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN L-Valinamide, N, B, B, 1-tetramethyl-L-tryptophyl-N-[3-carboxy-1-(1methylethyl)-3-butenyl]-N, 3-dimethyl-, [5-(8)]OTHER NAMES:
CN (-)-Hemiasterlin
CN Hemiasterlin
CN Memiasterlin
CN Minamide B
FS STEREOSERRCH
MF C10 146 N4 O4
SR CA
LC STN Piles: AGRICOLA, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT,
TOXCENTER, USPATPULL
DT.CA CAPLUS document type: Dissertation; Journal; Patent
RL.P Roles from patents: ANST (Analytical study); BIOL (Biological study);
PREP (Preparation); RACT (Reactant or resgent); USES (Uses)
RLD.P Roles from non-specific derivatives from patents: ANST (Analytical study); DCCU (Occurrence); PREP (Preparation); PRP (Preparetion); RACT (Reactant or resgent); USES (Uses)
RLD.P Roles for non-specific derivatives from non-patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or resgent); USES (Uses)
RLD.PN Roles for non-specific derivatives from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.
Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

31 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
31 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> logoff y COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 6.87 7.08

FULL ESTIMATED COST

STN INTERNATIONAL LOGOFF AT 11:34:47 ON 31 JAN 2005

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Welcome to STN International! Enter x:x

LOGINID:ssspta1612rxd

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America

NEWS 2 "Ask CAS" for self-help around the clock

NEWS 3 SEP 01 New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!

NEWS 4 OCT 28 KOREAPAT now available on STN

NEWS 5 NOV 30 PHAR reloaded with additional data

NEWS 6 DEC 01 LISA now available on STN

NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004

NEWS 8 DEC 15 MEDLINE update schedule for December 2004

NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected

NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected

NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected

NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected

NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB

NEWS 14 DEC 30 EPFULL: New patent full text database to be available on STN

NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED

NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and February 2005

NEWS 17 JAN 26 CA/CAPLUS - Expanded patent coverage to include the Russian Agency for Patents and Trademarks (ROSPATENT)

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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NEWS INTER General Internet Information

NEWS LOGIN Welcome Banner and News Items

NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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=>

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Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

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FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 11:18:39 ON 03 FEB 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7
DICTIONARY FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Stnexp4 corrupted\QUERIES\10667864.str

chain nodes :

7 8 9 10 11 12 13 14 15 16 18

ring nodes :

1 2 3 4 5 6

chain bonds :

5-7 7-8 7-9 9-10 9-11 11-12 12-13 12-14 14-15 15-16 16-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-9 9-11 12-13 12-14 14-15

exact bonds :

5-7 9-10 11-12 15-16 16-18

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS

L1STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 11:18:54 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 18597 TO ITERATE

5.4% PROCESSED 1000 ITERATIONS 0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 363777 TO 380103

PROJECTED ANSWERS:

0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 11:18:59 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 370581 TO ITERATE

100.0% PROCESSED 370581 ITERATIONS 9 ANSWERS

SEARCH TIME: 00.00.05

L3 9 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 161.33 161.54

FILE 'CAPLUS' ENTERED AT 11:19:08 ON 03 FEB 2005
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FILE COVERS 1907 - 3 Feb 2005 VOL 142 ISS 6 FILE LAST UPDATED: 2 Feb 2005 (20050202/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

. => s 13

L4 6 L3

=> d abs fbib hitstr 1-6

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

The invention provides compds. R1R2N(CR3R4)n-X1-NR5CHR6CONR7-R-an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or heteroaryl

coaryl moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R; R1, R2 are H, OH, CORC or R, where RC is H, OH, CORD, or R and RD is R; R3, R4 are H or R; R5, R6, R7 are H, CORE or R, where RE is H, OH, ORF,

R and RF is a group defined by R; R7 may be absent when NR7 is linked to

R
via a double bond; two R1-R4 or two R5-R7 taken together may form a
(hetero)alicyclic, (hetero)alicyclic(aryl),
(hetero)alicyclic(heteroaryl),
or (hetero)aryl) moiety; O is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where
RQ' and RQ'' are H or R or may combine as for R1-R4 or R5-R7 (with
provisos)) or their pharmaceutically-acceptable derive, for use in the
treatment of cancer. 'Compds. of the invention, e.g., hemiasterlin

derivative I, were prepared and assayed for inhibition of cell growth. Active compds

were evaluated in the reversibility, MDR, mouse serum stability, and other

assays. 2004:999664 CAPLUS

- 141:395816

141:395816

Preparation of hemiasterlin derivatives as antitumor agents
Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris
M.; Spyvee, Mark; Yang, Hu
USA IN

PA USA SO U.S. Pat. Appl. Publ., 237 pp., Cont.-in-part of Appl. No. PCT/USSJ08888.

CODEN: USXXCO

DT Patent LA English FAN.CNT 2

APPLICATION NO. PATENT NO. KIND DATE DATE US 2003-667864 US 2002-366592P 20030922 Al US 2004229819 20041118 20020322 WO 2003-US8888 WO 2003-US8888 A2 20030321 WO 2003082268 A2 20031009 20030321

WO 2003082268 A3 20040933
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZA, ZM

RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZM, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GG, GM, WL, MR, NE, SN, TD, TG

US 2003-1465592P P. 20020329 PATENT PAMILY INFORMATION: FAN 2003:796473 PATENT NO. K NT PAMILY INFO2003:982468

MO 2003082268

A2 2003:1009

MO 2003082268

A3 200409231

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, PI, GB, GD, GE, GN,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, NN, MM, MX, MZ, NO, NZ, CM, PH,
PF, RO, RU, SC, SD, SE, SG, KS, LS, LT, JT, TM, TR, TT, TZ,
UA, UG, US, UZ, VC, VS, YU, ZA, ZM, ZW

RN GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZM, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
PI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG

EF 1490054

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MD, E, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HI) SK
US 2004229819

US 2004229819

A2 20030321

A2 20030321

A2 20030322

A3 20030321

A2 20030322

A3 20030321

A3 20030321

A3 20030322

Absolute stereochemistry.

ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

Synthesis of title compds., e.g., (I), and preparation of reactants for

syntheses, for use in the treatment of autoimmune disease or tumors via their cytostatic effect (no data) was claimed. Thus, N-methyl-β-DL-valinolyl tert-butyldiphenylsilylether (II) was prepared in three steps

methylamine, isobutyraldehyde, and malonic acid.
methyl-homo-prolyl-Lisoleucine (III) was also prepared in four steps from D-N-Boc-homoproline
and L-isoleucine benzyl ester. II and III were coupled, the silyl
protecting group removed, and the resulting alc. subjected to Swern
lation

oxidation
to give an aldehyde intermediate, which was reacted with Me
3-dimethylamino-2-isocyanoacrylate. Me amine, and thioacetic acid; the
resulting 1,3-thiazole-containing compound was deesterified and reacted

various amines or amino acids to give title product I. 2004:41505 CAPLUS 140:94300 Synthesis of tubulysin derivatives for therapeutic use in treatment of

IN

DT LA FAN

disease
Doenling, Alexander; Henkel, Bernd; Beck, Barbara; Illgen, Katrin; Sakamuri, Sukumar; Menon, Sanjay
Morphochem Aktiengesellschaft für Kombinatorische Chemie, Germany
PCT Int. Appl., 65 pp.
CODEN: PIXXD2
Patent
German
CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE MO 2004005327 A1 20040115 WO 2003-EP7419 20030709
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, NN, MM, MX, MZ, NI, NO, NZ, OM,

```
ANSWER 2 OP 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM
RM: GH, GH, KE, LS, MM, MZ, SD, SL, SZ, TZ, UQ, ZM, ZM, AM, AZ, BY, KG, KZ, ND, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GM, GQ, GM, ML, MR, NE, SN, TD, TG
DE 2002-102130874 A1 20040122 DE 2002-102130874 A 20020719
DE 10230874 A1 20040122 DE 2002-10230871 A 20021113
MARRAET 140: 94300
         DE 10230874
DE 10252719
MARPAT 140:94300
644960-92-9P
           644560-32-59 PREP (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (Preparation of tubulyain deriva. for therapeutic use in treatment of (preparation of tubulyain deriva. for therapeutic use in treatment of
          disease)
644960-92-9 CAPLUS
          Despoirs - CAPLUS

2-Piperidinecarboxamide, N-[(1S,2S)-1-[[[(1S)-1-formyl-2-methylpropyl]amino]carbonyl]-2-methylputyl]-1-methyl-, (2R)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-366592P P 2003-726101 20030322

EP 1490054 A2 20041229 EP 2003-726101 20030322 054 A2 20041229 EP 2003-726101 20030321
AT. BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2002-366592P WO 2003-US8888 US 2003-667864 P 20020322 W 20030321 US 2004229819 A1 20041118 20030922 US 2002-366592P P 20020322 A2 20030321 WO 2003-US8888 PATENT FAMILY INFORMATION: PATENT NO. APPLICATION NO. KIND DATE DATE US 2003-667864 US 2002-366592P WO 2003-US8888 WO 2003-US8888 20030922 US 2004229819 A1 20041118 | NO 2703-USE800 | 20030321 | NO 2703-USE800 | 20030321 | NO 2003-USE800 | NO 2003-USE800 | 20030321 | NO 2003-USE800 | NO 20 WO 2003082268 WO 2003082268 Absolute stereochemistry.

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

The invention provides compds. RIR2N(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q [R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or

moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R; R1, R2 are H, OH, CORC or R; RC is H, OH, ORD, or R; RD is R; R3, R4 are

or R; R5, R6, R7 are H, CORE or R; RE is H, OH, ORF, or R; RF is a group defined by R; R7 may be absent when NR7 is linked to R vis a double bond; two R1-R4 or two R5-R7 taken together may form a (hetero)alicyclic; (hetero)alicyclic(cleteroaryl), or (hetero)aryl) moiety; Q is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where RQ' and RQ'' are

н or R or may combine as for R1-R4 or R5-R7 (with provisos)] or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemisaterlin derivative I, were prepared

and assayed for inhibition of cell growth. Active compds. (IC50 < 20 nM)

were evaluated in the reversibility, MDR, and mouse serum stability assays. 2003:796473 CAPLUS 139:308008

DN 139:308008
TI Preparation of hemiasterlin derivatives as antitumor agenta
IN Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletaky, Boris
M.; Spyvee, Mark; Yang, Hu
PA Eisai Co. Ltd., Japan
PCT Int. Appl., 289 pp.
CODEN: PIXXD2
DT Patent
LA English
PAN.CNT 2
DARSING US

PATENT NO. KIND DATE APPLICATION NO. DATE A2 20031009 WO 2003-US8888 20030321
A1, A3 20040923
AL, A3 20040923
AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, OM, PH, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, US, UZ, VC, VN, YU, ZA, ZM, ZM
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, WO 2003082268
W: AE, AG, CO, CR, GM, HR, LS, LT, PL, PT, UA, UG, RW: GH, GM,

ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

The present invention relates to acylaminoaldehyde compds. of formula R4 The present invention relates to scylaminoaldehyde compds. of formula R4 -O-NNCKHR1-X-CHO [Q = one or two amino acid residual groups which may be substituted; R1 = hydrogen atom or an optionally substituted hydrocarbon or heterocyclic group; R4 = an optionally esterified carboxyl group or an acyl group; X = a optionally substituted straight-chain or branched divalent hydrocarbon group having a chain length of 1 to 4 atoms as the linear moiety], or salts thereof, which have strong cysteine protease inhibitory activities and are useful as prophylactic and therapeutic

of various diseases, including bone diseases, caused by abnormal exasperation of cystine protesse, are prepared Thus, 2.4 g N-tert-butoxycarbonyl-L-phenylalanyl-L-tryptophanal and 1.76 g (formylmethylene)triphenylphosphorane were dissolved in 10 mL THF mL toluene and stirred for 15 h to give the title compound (I; R-phal

The latter compound and I (R = PhCH202C-Leu-Leu) (II) in vitro showed 1050

of 3.5 + 10-8 and 9.7 + 10-9 M, resp., against cathepsin L and that of 2.4 + 10-6 and 9.7 + 10-7 M, resp., against cathepsin B, resp. In a bone resorption inhibitory assay, they in vitro inhibited by 83 and 51%, resp., the Cs release from fetal rat's forearm bones. A gelatin capsule formulation containing II was described.

125:115147

**Temparation of partial 13-13-13.

135:115147
Preparation of peptide aldehyde derivatives as cysteine protease inhibitors
Sohda, Takashi; Pujisawa, Yukio; Yasuma, Tsuneo; Mizoguchi, Junji Takeda Chemical Industries, Ltd., Japan
PCT Int. Appl., 85 pp.
CODEN: PIXXD2
Patent

IN PA SO

LA	Eng!	lish																
FAN	. CNT	1																
	PATI	ENT I	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
							-									-		
PI	WO S	9610	014			A1		1996	0404		WO 1	995-	JP19	33		1	9950	925
		W:	AM,	AU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	EE,	FI,	GE,	HU,	IS,	KG,	KR,
			KZ,	LK.	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,	RU,	SG,
			SI,	SK,	TJ,	TM,	TT.	UA,	US,	UZ,	VN							
		RW:	KE,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	PR,	GB,	GR,	IE,	IT,
			LU,	MC,	NL,	PT.	SE,	BF,	BJ,	CF,	œ,	CI,	CM,	GΑ,	GN,	MI,	MR,	ΝE,
			SN.	TD.	TG													

JP 1994-231839

A 19940927

L4	ANSWER 4 OF	6 CAPLUS	COPYRIGHT 2005	ACS on STN	(Continued)
	CA 2196182	AJ.	19960404	CA 1995-21961	19950925
				JP 1994-231839	A 19940927
	AU 9535341	A)	19960419	AU 1995-35341	19950925
				JP 1994-231839	A 19940927
				WO 1995-JP193	W 19950925
	JP 08151355	A2	19960611	JP 1995-24595	7 19950925
				JP 1994-231835	A1 19940927
	EP 783489	A1	19970716	EP 1995-932228	19950925
	R: AT.	BE, CH, DE,	DK, ES, FR, G	B, GR, IE, IT, I	LI, LU, NL, PT, SE
				JP 1994-231835	A 19940927
				WO 1995-JP1933	W 19950925

MARPAT 125:115147 178911-01-89

IT 178911-01-89

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Reactant or reagent)
(In (preparation of peptide aldehyde derivs. as cysteine protease inhibitors and
bone resorption inhibitors for treating bone diseases)

RN 178911-01-8 CAPLUS
CN 2-Pyridinecarboxamide, N-{1-[{{1-formy1-2-(1H-indol-3-y1)ethyl]amino]carbonyl}-2-methylbutyl}-, [IS-[IR*(R*), 2R*]}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

114332-79-5 CAPLUS
1-Piperidinecarboxylic acid, 2-{[[1-[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]amino]carbonyl]-, phenylmethyleater (9C1) (CA INDEX NAME)

ANSMER 5 OP 6 CAPLUS COPYRIGHT 2005 ACS on STN
Thirty analogs of leupeptin was synthesized and examined for their inhibitory activities against trypsin, papain, plasmin, kallikrein, thrombin, and urokinses in vitro. Relative to leupeptin, benzoyl- and a-naphthalenesulfonyl-L-leucyl-L-argininal were 8-fold more inhibitory to papain, benzyloxycarbonyl-L-pyroglutemyl-L-leucyl-L-argininal 10-fold more inhibitory to trypsin and plasmin, and DL-2-pipecolyl-L-leucyl-L-argininal 25-fold more inhibitory to invest.

DL-2-pipecolyl-1-ieucyl-2-argininal 20-fold more inhibitory to kircin.

Against urokinase, only L-pyroglutamyl-L-leucyl-L-argininal exhibited a potent inhibitory activity. a-Naphthaleneaulfonyl-, danayl-, and benzyloxycarbonyl-(25, 3R)-3-amino-2-hydroxy-4-phenylbutyryl-L-leucyl-L-argininal were inhibitory to thrombin.

1988:200699 CAPLUS

108:200699 CAPLUS

108:200699 CAPLUS

108:200699 Totesse-inhibitory activities of leupeptin analogs
Saino, Tetsushi; Someno, Tetsuya; Ishii, Shinichi; Aoyagi, Takaski; Umezawa, Hamao

Res. Leb., Nippon Kayaku Co., Ltd., Tokyo, 115, Japan

Journal of Antibiotics (1988), 41(2), 220-5

CODEN: JANTAJ; ISSN: 0021-8820

Journal English

81033-55-0 114318-20-6 114332-79-5

RL: BIOL (Biological study)

AN DN TI AU

RL: BIOI (Biological study)

(protesse inhibition by, other leupeptin analogs comparison with)
83039-65-0 CAPLUS
2-Pyridinecarboxamide, N-[1-[[4-[(aminoiminomethyl)amino]-1formylbutyl]amino]carboxyl]-3-methylbutyl}-, [S-(R*,R*)]- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

114318-20-6 CAPLUS
2-Piperidinecarboxamide, N-[1-{[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
L-Argininal peptides R-X-L-Leu-L-NHCH(CHO)(CH2)3NHC(:NH)NH2 [I; X = CO,
SO2; R = alkyl, cycloalkyl, (un)aubstituted Ph, (un)aubstituted asphthyl,
pyridyl, PhCH2O, furyl, thienyl, pyrrolidingl, pyrrolidone moiety,
piperidinyl [the latter 3 substituted with PhCH2O2C (2)], RIX1 [X1 =
CH(OH), CH(NH2); R1 = alkyl, Ph, PhCH2, ZNHCH(CH2Ph]]] were prepared as
inhibitors of serine and thiol proteases. Thus, H-L-Leu-LNHCH(CH(OBU)2](CH2)3HC(:NH)NH2.HCl was condensed with BZOH by di-Ph
phosphorylazide in DMF at ambient temperature for 8 h and the resulting
luct

phosphorylazide in DMF at ambient temperature for 8 n and the recommendation of papain, trypsin, kallikrein, and plasmin by I, e.g., II inhibited papain trypsin, kallikrein, and plasmin by I, e.g., II inhibited papain with an IC50 of 0.5 mg/mL. 1982:545287 CAPLUS 97:145287 CAPLUS 97:145287 L-Argininal derivatives Umezawa, H.; Takeuchi, T.; Aoyagi, T.; Iahii, S.; Saino, T.; Someno, T. Nippon Kayaku Co., Ltd., Japan Pr. Demande, 31 pp. CODEN: PRIXIBL. Patent French

DT

LA	French				
FAN	CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	PR 2490632	A1	19820326	FR 1981-17674	19810918
	FR 2490632	B1	19861212		
				JP 1980-129097 A	19800919
	JP 57054157	A2	19820331	JP 1980-129097	19800919
	JP 02000342	B4	19900108		
	US 4401594	A	19830830	US 1981-300443	19810908
				JP 1980-129097 A	19800919
	GB 2086380	A	19820512	GB 1981-28012	19810916
	GB 2086380	B2	19840531		
				JP 1980-129097 A	19800919
	DE 3137280	A1	19820603	DE 1981-3137280	19810918
				JP 1980-129097 A	19800919
	CA 1183130	A1	19850226	CA 1981-386220	19810918
				JP 1980-129097 A	19800919

JP 1980-129097 A 1:
CASREACT 97:145287
83039-50-1P 83039-51-4P 83039-52-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and proteinase-inhibiting activity of)
83039-50-3 CAPLUS
2-Pyridinecarboxamide, N-{1-[[(4-[(aminoiminomethyl]amino]-1-formylbutyl]amino]carbonyll-3-methylbutyl]-, monohydrochloride,
[S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

• HC1

83039-51-4 CAPLUS
1-Piperidinecarboxylic acid, 2-{{[1-{[4-{aminoiminomethyl]amino}-1formylbutyl]amino|carbonyl]-3-methylbutyl]amino|carbonyl}-, phenylmethyl
ester, monohydrochloride (9CI) (CA INDEX NAME)

83039-52-5 CAPLUS
2-Piperidinecarboxamide, N-[1-{[[4-{(aminoiminomethyl)amino]-1-formylbutyl}amino]carbonyl]-3-methylbutyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

● HCl

83019-65-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
83039-65-0 CAPLUS
2-Pyridinecarboxamide, N-[1-[[4-[(aminoiminomethyl)amino]-1formylbutyl]amino]carbonyl]-3-methylbutyl]-, [S-{R*,R*}]- (9CI) (CA) INDEX NAME)

Absolute stereochemistry.

=> file registry COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 44.09 205.63 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL **ENTRY** SESSION -4.38 -4.38 CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 11:20:53 ON 03 FEB 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7 DICTIONARY FILE UPDATES: 1 FEB 2005 HIGHEST RN 824390-04-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

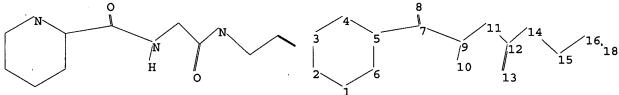
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Stnexp4 corrupted\QUERIES\10667864.str



chain nodes :

7 8 9 10 11 12 13 14 15 16 18

ring nodes :

1 2 3 4 5 6

chain bonds :

5-7 7-8 7-9 9-10 9-11 11-12 12-13 12-14 14-15 15-16 16-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-9 9-11 12-13 12-14 14-15

exact bonds :

5-7 9-10 11-12 15-16 16-18

isolated ring systems :

containing 1:

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 15 ful

FULL SEARCH INITIATED 11:22:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 370581 TO ITERATE

100.0% PROCESSED 370581 ITERATIONS

SEARCH TIME: 00.00.04

41 ANSWERS

L6

41 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FILL ESTIMATED COST

FULL ESTIMATED COST 161.76 367.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -4.38

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FILE COVERS 1907 - 3 Feb 2005 VOL 142 ISS 6 FILE LAST UPDATED: 2 Feb 2005 (20050202/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16 L7 9 L6

=> d abs fbib hitstr not 14
'FBIB' IS NOT VALID HERE

=> d abs bib hitstr not 14
'BIB' IS NOT VALID HERE

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ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

The invention provides compds. RIRZN(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q [R is an eliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or

coaryl
moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R;
R1, R2 are H, OH, CORC or R, where RC is H, OH, CORD, or R and RD is R;
R3, R4 are H or R; R5, R6, R7 are H, CORE or R, where RE is H, OH, ORF,

R and RF is a group defined by R; R7 may be absent when NR7 is linked to

R
via a double bond; two R1-R4 or two R5-R7 taken together may form a
(hetero)alicyclic, (heterolalicyclic(aryl),
(hetero)alicyclic(heteroaryl),
or (heterolaryl) moiety; O is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where
RQ' and RQ'' are H or R or may combine as for R1-R4 or R5-R7 with
provisos)] or their pharmaceutically-acceptable derives, for use in the
treatment of cancer. Compds. of the invention, e.g., hemiasterlin

uerivative I, were prepared and assayed for inhibition of cell growth. Active compds. were evaluated in the reversibility, MDR, mouse serum stability, and

er
assays.
2004:999664 CAPLUS
141:395816
Preparation of hemiasterlin derivatives as antitumor agents
Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris
M.; Spyvee, Mark; Yang, Hu
USA

A1

M.; Spyvee, Mark; Yang, nu
PA USA
SO U.S. Pat. Appl. Publ., 237 pp., Cont.-in-part of Appl. No.
PCT/USO3/0888.
CODEN: USXXCO
DT Patent
LA English
PAN.CNT 2
PATENT NO. KIND DATE APPLICATION NO. DATE US 2003-667864 US 2002-366592P WO 2003-US8888 WO 2003-US8888 20030922 20020322 20030321

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WO 2003082268 A2 20031009 WO 2003 US8888 220030321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, EY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

610787-07-0P 610787-11-6P 610787-20-7P 610787-22-9P 610787-33-2P 610787-34-3P 610787-35-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
{preparation of hemiasterlin derivs. as antitumor agents}
610787-07-0 CAPLUS
2-Hexenoic acid, 4-{([28)-3,3-dimethyl-2-[[[2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-,
(2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 610787-11-6 CAPLUS
CN 2-Hexenoic acid,
4-[(2S)-3,3-dimethyl-2-[[[(2R)-1-[(1R)-1-methylpropyl]-2piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-,
(2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 610787-10-5 CMF C25 H45 N3 O4

Absolute stereochemistry.

10667864

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MM, MX, MZ, NO, NZ, GM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RN: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
PI, PR, GB, GR, HU, IB, IT, LU, MC, NI, PT, RO, SE, SI, SK, TR,
BP, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TEXTERNILLY INFORMATION:

P 20020321

PATENT PAMILY INFORMATION: PAN 2003:796473

LN.	200	03:79	6473															
		TENT :						DATE			APPL	ICAT	ION	NO.		, D.	ATE	
		2003				A2		2002	1009		WO 2	002		-		-	0030	221
											WO 2	003-	U386	86		•	0030	
	MO	2003						2004										
		₩:							ΑZ,									
			CO,	ÇR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	E5,	PI,	GB,	GD,	GΕ,	GH,
			GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚĒ,	KG,	KP,	KR,	ΚŻ,	LC,	LK,	LR,
			LS.	LT.	LU.	LV.	MA.	MD.	MG,	MK.	MN.	MW.	MX,	MZ,	NO.	NZ,	OM,	PH,
									SE,									
									YU,									
		DW.							SD,				HG.	ZM.	2W .	AM.	AZ.	BY.
		Д.							AT,									
									IT,									
			BF,	BJ,	CF,	co,	CI,	CM,	GA,									
											US 2							
	EP	1490							1229								0030	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	PR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PŤ,
			IE.	SI.	LT,	LV.	PI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	sĸ	
											US 2							
											WO 2	003-	USBB	88		W 2	0030	321
	110	2004	2200	10		A1		2004	1118		US 2						0030	
	03	2004									US 2							
											WO 2	003-	U588			M2 4	0030	341

610787-09-2P \$10787-09-19
RL: PAC (Phermacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of hemisaterlin derivs. as antitumor agents)

(preparation of nemtaterilm derive. as antitumo: agenta) 610787-09-2 CAPLUS 2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[((2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl eater, (ZE,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN le bond geometry as shown.

CM 2

CRN 76-05-1 CMF C2 H F3 02

610787-20-7 CAPLUS
2-Hexenoic acid, 4-[([28)-2-{[[(2R)-1-(1,2-dimethylpropyl)-2-piperidinyl]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

610787-22-9 CAPLUS
2-Haxenoic acid, 4-[[(28)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-,(2E,48)- (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry.

Double bond geometry as shown. (Continued)

RN 610787-33-2 CAPLUS
CN 2-Hexenoic acid,
4-[[(2S)-3,3-dimethyl-2-[[((2R)-1-[(1S)-1-methylpropyl]-2piperidinyl[carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-,
(2E,4S)-, mono(trifluoroacetate) (9C1) (CA INDEX NAME)

CM 1

CRN 610787-32-1 CMF C25 H45 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.

СМ 2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) \$10787-17-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hemiasterlin derive. as antitumor agents)
610786-72-6 CAPLUS
2-Rexenoic acid. 4-[[(2S)-3,3-dimethyl-2-[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (SCI) (CA INDEX NAME)

CM 1

CRN 610786-71-5 CMF C24 H43 N3 O4

Absolute stereochemistry. Double bond geometry as shown.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

610786-73-7 CAPLUS
2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[([(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-monohydrochloride, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

610787-34-3 CAPLUS
2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[{[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]emino]-1-oxobutyl]methylamino]-2,5-dimethyl-,
(2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

610787-35-4 CAPLUS
2-Hexenoic acid, 2.5-dimethyl-4-[methyl[(2S)-3-methyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]amino]-, (2E,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

IT 610786-72-6P 610786-73-7P 610786-82-8P

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

● HC1

RN 610786-82-8 CAPLUS
CN 2-Hexenoic acid,
-{[[(25)-2-[(],4-dimethyl-2-piperidinyl)carbonyl]amino]3,3-dimethyl-1-oxobutyl|methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)(9C1) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

610787-17-2 CAPLUS
2-Hexenoic acid, 4-[[(28)-3,3-dimethyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethylester, (28,48)-, mono(trifluoroacetate) (SCI) (CA INDEX NAME)

CM 1

CRN 610787-16-1 CMF C27 H49 N3 O4

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of hemiasterlin derive. as antitumor agents)

RN 610786-74-8 CAPLUS

CN L-Proline,

(2R)-1-methyl-2-piperidinecarbonyl-3-methyl-L-valyl-(2E,4S)-2,5-dimethyl-4-(methyl-amino)-2-hexenoyl-, methyl ester, monohydrochloride

(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC1

RN 610786-95-3 CAPLUS
CN 2-Hexenoic acid,
A-[[(2S)-2-[[(1,4-dimethyl-2-piperidinyl)carbonyl]amino]3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA INDEX NAME)

=> d abs fbib hitstr 2-9

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

$$\bigcap_{\substack{Pr-i \\ N}} \bigcap_{H} \bigcap_{\substack{N \\ O}} \bigcap_{Pr-i \ Me}^{Me} \operatorname{co}_{2H}$$

The invention provides compds. R1R2N(CR3R4)n-X1-NR5CHR6CONR7-R-X2-Q (R is an aliphatic, alicyclic, heteroaliph., heteroalicyclic, aryl or

COBTY:
moiety; n is 0-4; X1, X2 are CRARB, CO, or SO2, where RA, RB are H or R;
R1, R2 are H, OH, CORC or R; RC is H, OH, ORD, or R; RD is R; R3, R4 are

or R; R5, R6, R7 are H, CORE or R; RE is H, OH, ORF, or R; RF is a group defined by R; R7 may be absent when NR7 is linked to R via a double bond; two R1-R4 or two R5-R7 taken together may form a (hetero)alicyclic, (hetero)alicyclic(leteroaryl), or (hetero)aryl moiety; Q is ORQ', SRQ', NRQ'RQ'', N3, NOH, or R, where RQ' and RQ'' are

or R or may combine as for R1-R4 or R5-R7 (with provisos)] or their pharmaceutically-acceptable derivs. for use in the treatment of cancer. Compds. of the invention, e.g., hemissterlin derivative I, were prepared and

assayed for inhibition of cell growth. Active compds. (IC50 < 20 nM) were

evaluated in the reversibility, MDR, and mouse serum stability assays. $2003.796473\,$ CAPLUS $139\colon\!308008$

DN T1 IN

139:308008
Preparation of hemiasterlin derivatives as antitumor agents
Kowalczyk, James J.; Kuznetsov, Galina; Schiller, Shawn; Seletsky, Boris
M.; Spyvee, Mark; Yang, Hu
Eisai Co. Ltd., Japan
PCT Int. Appl., 289 pp.
CODEN: PIXXD2

PA SO

DT Patent LA English FAN.CNT 2

	PATENT	NO.			KIN	D	DATE			APPL	I CAT	ION	NO.		D.	ATE	
						-									-		
PI	WO 200	30822	68		A2		2003	1009	1	WO 2	003-1	US88	88		2	0030	321
	WO 200	30822	68		A3		2004	0923									
	w:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW	: GH,	GM,	KE,	Ls,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	sĸ,	TR,

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

610787-07-09 610787-11-69 610787-20-79
610787-22-99 610787-33-29 610787-34-39
610787-35-49
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic-use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of hemiasterlin derivs. as antitumor agents)
610787-07-0 CAPLUS
2-Hexenoic acid, 4-[([2S)-3,3-dimethyl-2-[[[(2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-,
(2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 610787-11-6 CAPLUS
CN 2-Hexenoic acid,
4-[[(2S)-3,-3-dimethyl-2-[[[(2R)-1-[(1R)-1-methylpropyl]-2piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-,
(2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 610787-10-5 CMF C25 H45 N3 O4

Absolute stereochemistry.

10667864

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, CM, ML, MR, NE, SN, TD, TG

EP 1490054 A2 20041229 EP 2003-726101 20030321

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 2002-366592P P 20030321

US 2004229819 A1 20041118 US 2003-667864 20030922

US 2004229819 A1 20041118 US 2003-667864 20030922 A2 20030321 PATENT FAMILY INFORMATION: FAN 2004:999664 PATENT NO. K KIND DATE LENTION NO. US 2003-667864 US 002-366592P WO 2003-US8888 WO 2003-US8888 US 2004229819 20041118 20030922 MARPAT 139:308008
610787-09-29
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); RTC (Reactant); SPN (Synthetic preparation); RTC (Reactant); BIOL (Biological study); PREP (Preparation); RTC (Reactant or reagent); USES (Usea) (preparation of hemiasterlin derivs. as antitumor agents) 610787-09-2 CAPLUS
2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[((2R)-1-(1-methylethyl)-2-piperidinyl]carbonyl]amino]-1-coxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 $\,$ ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Double bond geometry as shown.

CO2H

610787-20-7 CAPLUS
2-Hexenoic acid, 4-[[(28)-2-[[[(2R)-1-(1,2-dimethylpropyl)-2-piperidinyl]carbonyl]amino]-3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,48)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown

610787-22-9 CAPLUS
2-Hexenoic acid, 4-{[(2S)-3,3-dimethyl-2-[[((2R)-1-methyl-2-piperidinyl)earbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-,
(2E,4S)- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry. Double bond geometry as shown. (Continued)

RN 610787-33-2 CAPLUS
CN 2-Hexenoic acid,
4-[{(28}-3,3-dimethyl-2-{[[(2R)-1-{(1S)-1-methylpropyl}-2-piperidinyl)carbonyl]amino}-1-oxobutyl]methylamino}-2,5-dimethyl-,
(2E,4S)-, mono(trifluoroacetate) {9CI} (CA INDEX NAME)

CM 1

CRN 610787-32-1 CMF C25 H45 N3 O4

Absolute stereochemistry.
Double bond geometry as shown.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 610787-17-2p
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hemiasterlin derivs. as antitumor agents)
610786-72-6 CAPLUS
2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[(2R)-1-methyl-2-piperidinyl]carbnoyl]amino]-1-oxobutyl]umethylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 610786-71-5 CMF C24 H43 N3 O4

Absolute stereochemistry.

Double bond geometry as shown.

CRN 76-05-1 CMF C2 H F3 O2

610786-73-7 CAPLUS
2-Hexenoic acid, 4-[([2S)-3,3-dimethyl-2-[[[(2R)-1-methyl-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-monohydrochloride, (2E,4S)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

610787-34-3 CAPLUS
2-Hexenoic acid, 4-[[(2S)-3,3-dimethyl-2-[[((2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-,
(2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

610787-35-4 CAPLUS
2-Hexenoic acid, 2,5-dimethyl-4-[methyl][(2S)-3-methyl-2-[[[(2R)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]amino]-, (2E,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

IT 610786-72-6P 610786-73-7P 610786-82-8P

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 610786-82-8 CAPLUS
CN 2-Hexenoic acid,
-[1(28)-2-[[(1,4-dimethyl-2-piperidinyl)carbonyl]smino]3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,45)(9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

610787-17-2 CAPLUS
2-Hexenoic acid, 4-[([28)-3,3-dimethyl-2-[[([28)-1-(1-methylpropyl)-2-piperidinyl]carbonyl]amino]-1-oxobutyl]methylamino]-2,5-dimethyl-, ethyl ester, (2E,4S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 610787-16-1 CMF C27 H49 N3 O4

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

2 CM

CRN 76-05-1 CMF C2 H F3 O2

- CO2H

610786-74-8P 610786-95-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of hemissterlin derivs. as antitumor agents) 510786-74-8 CAPLUS

El0/86-7-5 LARDO L-Proline
-l-methyl-2-piperidinecarbonyl-3-methyl-L-valyl-(2E,4S)-2,5dimethyl-4-(methylamino)-2-hexenoyl-, methyl ester, monohydrochloride
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

02CH [(CH2) 2Ph] 2 Ţ

Pipecolic acid derivs. are prepared for treating vision disorders,

AB Pipecolic acid derivs. are prepared for treating vision districts improving vision, treating memory impairment, or enhancing memory performance in an animal. These compds. bind to immunophilin PKBP12 and preferably do not have immunosuppressive activity. Affinity for PKBP12 is measured as inhibition of prolyl peptidyl cis-trans isomerase (rotamase). Thus, pipecolic acid eater I inhibited rotamase with a Ki of 20 nM, showed a clearance rate of 41.8 µL/min, and rescued 56.6% of optic nerve exons from degeneration 14 days after optic nerve transection in rats (dose and route of administration not stated).

AN 200:133482 CAPLUS
DN 132:175851

Pipecolic acid derivatives for vision and memory disorders
Ross, Douglas T.; Sauer, Hansjorg; Hamilton, Gregory S.; Steiner, Joseph

Guilford Pharmaceuticals Inc., USA PCT Int. Appl., 126 pp. CODEN: PIXXD2 Patent

DT Patent LA English FAN.CNT 1 PATENT NO

FAN	. CNI																	
	PA'	FENT	NO.			KIN		DATE			APPI	ICAT	ION	NO.		D	ATE	
PI	WO	2000	0091	09				2000	0224		WO 1	999-	US18	242		1	9990	812
	WO	2000	0091	09		A3		2000	0817									
		W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BĐ,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
			CZ,	DE,	DK,	DM,	EE,	ES,	FI,	ĢΒ,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
			IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS.	LT,	LU,	LV,	MD,
			MG,	MK,	MN,	MW,	MX,	NO.	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG.	SI,	SK,
			SL,	TJ.	TM,	TR,	TT,	UA,	UG.	UZ,	VN,	YU.	ZA,	ZW.	AM,	AZ,	BY,	KG.
			KZ,	MD,	RU.	TJ.	TM											
		RW:	GH,	GM,	KE.	LS,	MW,	SD,	SL.	SZ,	UG,	ZW,	AT,	BE,	CH,	CY.	DE,	DK,
			ES,	PI.	FR,	GB,	GR,	IE,	IT.	LU.	MC.	NL.	PT.	SE.	BF,	BJ,	CF,	CG,
			CI,	CM.	GA,	GN,	GW.	ML,	MR,	NE,	SN,	TD.	TG					
											US 1	998-	1344	17		A 1	9980	814
	US	6376	517			B1		2002	0423		US 1	998-	1344	17		1	9980	814
	CA	2344	520			λA		2000	0224		CA 1	999-	2344	520		1	9990	812
											US 1	998-	1344	17		A 1	9980	814
											WO 1	999-	US18	242	1	W 1	9990	812
	ΑU	9955	557			A1		2000	0306		AU 1	999-	5555	7		1	9990	812
											US 1	998-	1344	17		A 1	9980	814
											WO 1	999-	US18	242	1	W 1	9990	812
	EP	1109	554			A2		2001	0627		EP 1	999-	9421	09		1	9990	812

10667864

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC3

RN 610786-95-3 CAPLUS
CN 2-Hexenoic acid,
-{[[(28)-2-[((1,4-dimethyl)-2-piperidinyl)carbonyl}amino]3,3-dimethyl-1-oxobutyl]methylamino]-2,5-dimethyl-, (2E,4S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC. PT,
1E, SI, LT, LV, FI, RO

US 1998-134417 WO 1999-US18242 JP 2000-564612 US 1998-134417 WO 1999-US18242 19980814 19990812 19990812 JP 2002522485 20020723

WO 1999-US18242 W 1 145021-65-4 145021-66-5 145021-67-6 145021-68-7 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES

(Uses)

(Uses)
{pipecolic acid derivs. for vision and memory disorders}
145021-65-4 CAPLUS
2-Fiperidinecarboxamide, N-[{1S}-2-[[(1S,2E)-4-(benzoyloxy)-1-{1-methylethyl}-2-butenyl]amino|-2-oxo-1-(phenylmethyl)+1-thyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-,
(2E.48)-4-[[(2S)-2-[[(2S)-1-[2-(3-methoxyphenyl)2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

145021-67-6 CAPLUS 2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

Absolute stereochemistry.
Double bond geometry as shown.

Answer 4 of 9 Caplus copyright 2005 Acs on STN This invention relates to pharmaceutical compns. and methods for treating alopecia and promoting hair growth using pipecolic acid derivs. Thus, a hair lotion contained 95% EtOM, a pipecolic acid derivative such as 4-(4-methoxyphenyl)butyl 1-(2-oxo-2-phenylacetyl)-2-piperidinecarboxylate 10.0, α -tocopherol acetate 0.01, ethoxylateed hardened castor oil 0.5, and water 9.0%, and perfume and dye. 1999:783903 CAPLUS 132:26633 AN 1999:783903 CAPLUS

132:26633

TI Pipecolic acid derivatives for hair growth compositions
III Hamilton, Gregory S.; Steiner, Joseph P.

Guilford Pharmaceuticals, Inc., USA
CODEN: PIXXD2

Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. AN DN TI IN PA SO WO 9962483

ENT NO.

9962483 A1 19991209 WO 1998-US11242 19980603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, CW, FKR, KZ, TLC, TLK, TLR, TLS, IT, TLU, TLV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VM, VJ, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

2331698 AA 19991209 CA 1998-2331698 19980603
P877167 A1 19991209 AU 1998-77167 19980603 CA 2333698 AU 9877167 AU 761083 WO 1998-US11242 EP 1998-925152 A 19980603 3872 A1 20010321 EP 1998-925152 19980603 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO EP 1083872 WO 1998-US11242 JP 2000-551739 WO 1998-US11242 W 19980603 T2 20020611 JP 2002516839

DATE

W 19980603

WO 1998-US11242 W 199806
252003-01-3 252003-02-4
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(pipecolic acid derivs. for hair growth compns.)
252002-98-5 CAPLUS

22002-96-5 CAPADS

On L-Alanine,
(25)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinecarbonyl-Lphenylalanyl-N-2-propenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 252002-99-6 CAPLUS
CN 2-Piperidinecarboxamide,
N-[(1S)-2-[((2B)-4-(benzoyloxy)-1-(1-methylethyl)2-butenyl]amino)-2-oxo-1-(phenylmethyl)-thyl]-1-[2-(3-methoxyphenyl)-2oxoc+hyl]-, (2B)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 252003-00-2 CAPLUS
CN Acetic ecid, trifluoro-,
(2E)-4-[[(2S)-2-[[((2S)-1-[2-(3-methoxyphenyl)-2oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$P_{3} \subset \bigcup_{i-P_{T}} \bigcup_{i-P_{$$

RN 252003-01-3 CAPLUS
CN 2-Piperidinecarboxamide, N-{(1S)-2-([(2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl)-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 252003-02-4 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[[1S]-2[[[2S]-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino)-2-oxo-1(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSMER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
Rotamase or peptidyl-prolyl isomerase inhibitors e.g. neurotrophic pipecolinic acid derivs. (including FK506, Way 124666, Rapamycin, SLB etc.) with FKBP-type immunophilin affinity are claimed for stimulating nerve growth and regeneration after nerve injury in treatment of neurol. diseases e.g. Alzheimer's disease, parkinsonism, muscle atrophy, etc. effects of these inhibitors were comparable to that of nerve growth factor.

1997:165074 CAPLUS
126:152815
Rotamage inhibitors for treatment of neurological diseases
Steiner, Joseph P.; Synder, Solomon; Hamilton, Gregory S.
Guilford Pharmaceuticals, Inc., USA; Johns Hopkins University School of Medicine
Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JXXXAF
Patent
Japanese
CNT 3
PATENT NO. KIND DATE APPLICATION NO. DATE

JP 08333256 A2 19961217 JP 1996-112866 19960430 so DT LA FAN JP 08333256 JP 3060373 19961217 20000710 JP 1996-132866 19960430 US 1995-474072 US 1995-474072 CN 1996-194555 US 1995-474072 LT 1998-2 US 1995-474072 19950607 19950607 19960605 19950607 US 5798355 CN 1187127 19980825 19980708 LT 4516 в 19990625 PATENT FAMILY INFORMATION: FAN 1997:151523 PATENT NO. KI DATE WO 9640140 Al 1

W: AL, AM, AT, AU, AZ,
ES. FI, GB, GE, HU,
LT, LU, LV, MD, MG,
SE. SG

RN: KE, LS, MW, SD, SZ,
IE, IT, LU, MC, NL, 19961219 WO 1996-US9561 19960605 BB, BB, BR, BY, CA, CH, CN, CZ, DE, DK, EE, I, LI, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, MK, MN, MN, MX, NO, NZ, PL, PT, RO, RU, SD, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN US 1995-474072 A 19950607
A 19980825 US 1995-474072 19950507
A 19971209 US 1996-653905 19960528
A1 19961230 US 1996-653905 2 19960505
A1 19961230 AU 1996-61622 19960605
B2 19990923 US 5798355 US 5696135 AU 9661622 AU 710423 19961230 19990923 US 1995-474072 US 1996-653905 WO 1996-US9561 GB 1996-24258 19950607 19960528 19960605 19960605 GB 2305605 GB 2305605 19970416 20000112 US 1995-474072 US 1996-653905 WO 1996-US9561 DE 1996-19680255 US 1995-474072 US 1996-653905 A 19950607 A 19960528 W 19960605 19960605 A 19950607 A 19960528 DE 19680255 19970605

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ш,	AUSTER 5 OF 5 CATE	05 COLINIO	WO WO	1006-1100561	W 10060605
	ED 222470	A1 1997	0611 EP	1996-US9561 1996-919227	10060605
	EP 777478 EP 777478	B1 2001		1990-91922/	19900003
	EP ///4/8				
	R: BE, FR, GR,	18, 11, MC,		1005 474072	A 19950607
			US	1996-653905 1996-US9561	A 19960528 W 19960605
			WO	1996-US9561	W 19960605
	BR 9608485	A 1999	90706 BR	1996-8485	19960605
			US	1995-474072	A 19950607
			us	1996-653905	A 19960528
			WO	1996-US9561 1996-8485 1995-474072 1996-653905 1996-US9561 1996-310767	W 19960605
	NZ 310767	A 2000)1124 NZ	1996-310767	19960605
			US	1995-474072	A 19950607
			US	1996-310767 1995-474072 1996-653905 1996-US9561	A 19960528
			WO	1996-US9561	W 19960605
	FI 9604137	A 1997	70115 PI	1996-4137	19961015
			US	1996-4137 1995-474072 1996-653905 1996-US9561	A 19950607
			US	1996-653905	A 19960528
			WO	1996-US9561	W 19960605
	TW 523410	B 2003	0311 TW		19961024
			us	1995-474072	A 19950607
			US	1996-653905	A 19960528
			WO	1996-653905 1996-US9561	W 19960605
	SE 9604097	A 1996	1208 SE	1996-4097 1995-474072 1996-653905 1996-US9561 1996-1256	19961108
			US	1995-474072	A 19950607
			us	1996-653905	A 19960528
			WO	1996-US9561	W 19960605
	DK 9601256	A 1996	1220 DK	1996-1256 1995-474072 1996-653905 1996-US9561	19961108
	21 3001200		115	1995-474072	A 19950607
			116	1996-653905	A 19960528
			WO	1996-1199561	W 19960605
	NO 9704290	A 1997	71204 NO	1990-039561	10070017
	NO 9704290	A 199	11204 NO	1005-474072	A 100E0607
			05	1006.653005	A 10060528
			US	1996-653905	M 19960526
				1996-059561	10001000
	HK 1013254	A1 2000	10919 HK	1998-1145/9	19901222
			08	1995-4/40/2	A 19950607
			08	1997-4290 1995-474072 1996-653905 1996-US9561 1998-114579 1995-474072 1996-653905	M 19960526
			WO	1996-059561	M 13360602
PAN	1998:17977	****** *****			D3.00
		KIND DATE		PLICATION NO.	DATE
PI	US 5696135	A 1997	/1209 05	1996-653905 1995-474072 1995-474072 1996-2206824	19960528 A2 19950607
			US	1995-474072	A2 19950607
	US 5798355	A 1998	10825 US	1995-474072	19950607
	CA 2206824	AA 1996	1219 CA	1996-2206824	19960605
	CA 2206824	C 2001	10814		
				1995-474072	
			US	1996-653905 1996-U\$9561	A 19960528
	WO 9640140				
				Y, CA, CH, CN, CZ	
				E, KG, KP, KR, K2	
		MD, MG, MK,	MIN, MW, M	X, NO, NZ, PL, PI	, RO, RU, SD,
	SE, SG				
				H, DE, DK, ES, FI	
	IE, IT, LU,	MC, NL, PT,	SE, BF, B	J, CF, CG, CI, CH	I, GA, GN
			US	1995-474072	A 19950607
			US	1995-474072 1996-653905 1996-61622	A 19960528
	AU 9661622	A1 1996	1230 AU	1996-61622	19960605

(Continued)

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

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L7	ANSWER AU 710		9 CAPLUS B2	COPYRIGHT 2 1999092		on STN	(Cont	ınu	ea)
	AU 710	423	84	1999092		1995-47	4073	А	19950607
						1996-65		Ä	19960528
						1996-US		w	19960605
	GB 230	5605	A1	1997041	6 GB	1996-24	258		19960605
	GB 230	5605	B2	2000011	2				
					US	1995-47	4072	A	19950607
						1996-65		A	19960528
						1996-US		W	19960605
	DE 196	80255	T	1997060		1996-19		_	19960605
						1995-47		A	19950607
						1996-65 1996-US		A W	19960528 19960605
	EP 777	470	A1	1997061		1996-05		-	19960605
	EP 777		Bi			1330-31	. 744 /		19900005
	R:		FR, GR, IE,		•				
	•••	,	· ii, oii, 12,	11, 110, 111	US	1995-47	4072	A	19950607
						1996-65		A	19960528
					WO	1996-US	9561	W	19960605
	CN 118	7127	A	1998070	B CN	1996-19	4555		19960605
					US	1995-47	4072	Α	19950607
	CH 689	541	А	1999061	5 CH	1996-27	89		19960605
						1995-47		А	19950607
		_				1996-65		A	19960528
	BR 960	8485	A	1999070		1996-84		_	19960605
				•		1995-47		A	19950607
						1996-65		A W	19960528
	ES 213	0510	Al	2000010		1996-08		w	19960605
	ES 213		BI			1990-30	.031		1990000
	DD 213	0340	٠.	-001010		1995-47	4072	А	19950607
						1996-65		A	19960528
	NZ 310	767	A	2000112	4 NZ	1996-31	0767		19960605
					US	1995-47	4072	А	19950607
						1996-65		Α	19960528
						1996-US		W	19960605
	ES 216		A1			2000-20	0050035		19960605
	ES 216	6740	B1	2003110					10050665
						1995-47		A	19950607 19960528
	FI 960		А	1997011		1996-65		^	19960528
	F1 900	413/	^	1997011		1995-47		А	19950607
						1996-65		Â	19960528
						1996-US		w	19960605
	TW 523	410	В	2003031		1996-85			19961024
						1995-47	4072	А	19950607
					us	1996-65	3905	А	19960528
						1996-US		W	19960605
	ZA 960	8981	A	1998052		1996-89			19961025
						1996-65		A	19960528
	SE 960	4097	A	1996120		1996-40		_	19961108
						1995-47		A	19950607
						1996-65 1996-US		A W	19960528 19960605
	DK 960	1256	А	1996122		1996-05		w	19960605
	PY 300	1436	^	1330177	O DK	1990-12			13301108

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 145021-66-5 CAPLUS ---CN Acetic acid, trifluoro-,
(2E,4S)-4-[[(2S)-2-[[(2S)-1-[2-(3-methoxyphenyl)2-oxoethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

145021-67-6 CAPLUS
2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]maino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

L7 A	NSWER 5 OF 9	CAPLUS	COPYRIGHT	2005	ACS	OR STN	(Continu	ed)
		W.1. 202				1995-474072		19950607
						1996-653909		19960528
						1996-US9561		
	S 5843960	Α.	19981			1997-787162		19970123
U	5 5843960	^	19981	.01		1995-474072		19950607
						1996-653905		19960528
		_				1995-65390		19970123
u	S 5846981	A	19981	208		1995-474072		19950607
						1996-653909	, A1	19960528
N	0 9704290	A	19971	204		1997-4290	_	19970917
						1995-474072		19950607
						1996-653909		19960528
						1996-US9561	L W	19960605
I	T 4516	В	19990	525		1998-2		19980106
						1995-474072	2 A	19950607
I	V 11986	В	199809	920		1997-244		19980202
					US	1995-474072	2 A	19950607
					US	1996-653905	5 A	19960528
E	S 2194596	A	1 20031	116	ES	2001-200150	0041	19980605
					US	1995-474072	2 A	19950607
					US	1996-653905	5 A	19960528
t	S 6022878	А	20000	208	US	1998-113330	٥	19980710
					US	1995-474072	2 A2	19950607
					US	1996-653909	5 A1	19960528
					US	1997-787162	2 A1	19970123
H	K 1013254	A	1 20000	516	HK	1998-114579	9	19981222
					us	1995-474072	2 A	19950607
					us	1996-653909	5 A	19960528
					WO	1996-US956		19960605
	U 9948793	A	1 19991	125	AU	1999-48793		19990916
	U 740089	В:			,,,	1,,,,		
-		_			us	1995-474072	2 A	19950607
						1996-65390		19960528
						1996-61622		19960605
	S 2002052372	A	1 20020	502		1999-43532		19991105
,	5 2002032372					1995-474072		19950607
						1996-65390		19960528
						1997-78716		19970123
						1998-11333		19980710
	S 2003114365	А	1 20030	c 1 0		2002-22831		20020827
	3 2003114305	^	1 20030			1995-474072		19950607
						1996-65390		19960528
						1997-78716		19970123
						1998-11333		19980710
						1998-113331		19991105
17 1	45021-45-4 141	EA21-66-E	145021-67		US	1999-43532.	3 A3	13331102

US 1999-435323 A1 19980710

IT 145021-65-4 145021-66-5 145021-67-6

145021-68-7

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study);

USES

(Uses)
(rotamase inhibitors for treatment of neurol. diseases)
145021-65-4 CAPLUS
2-Piperidinecarboxamide, N-[(1S)-2-[[(1S,2E)-4-(benzoyloxy)-1-(1-

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

145021-68-7 CAPLUS
2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl)-N-[(1S)-2[[(1S, 2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl)amino)-2-oxo-1(phenylmethyl)ethyl]-, (2S)- (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN		L7 ANSWER 6 OF 9	CAPLUS COP	YRIGHT 2005	ACS on STN (Con	tinue	1)
AB Neurotrophic pipecolic acid derivs. having an affini	ty for PKBP-type	BR 9608485	A	19990706	WO 1996-US9561 BR 1996-8485	W :	19960605 19960605
immunophilins are useful as inhibitors of the enzyme with		BK 9608485	^	19990706	US 1995-474072	A :	19950607
immunophilin proteins, and in particular inhibitors isomerase or rotamase enzyme activity, to stimulate	of peptidyl-prolyl				US 1996-653905 WO 1996-US9561		19960528 19960605
growth or regeneration. The compds, of the inventio	n (e.g. Way-124,666;	NZ 310767	A	20001124	NZ 1996-310767 US 1995-474072		19960605 19950607
SLB-506) are useful for the treatment of neurol. dis may be used in conjunction with a neurotrophic facto	orders. The compds. r (neurotrophic				US 1996-653905	A	19960528
growth factor, brain-derived growth factor, neurotrophin-3.		FI 9604137	A	19970115	WO 1996-US9561 FI 1996-4137	W	19960605 19961015
AN 1997:151523 CAPLUS	666.7.	11 3001237		.,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,	US 1995-474072		19950607 19960528
DN 126:152817 TI Pipecolic acid derivatives as inhibitors of rotamase	activity, and use in				US 1996-653905 WO 1996-US9561	w	19960605
treatment of nervous system disorders.		TW 523410	В	20030311	TW 1996-85113075 US 1995-474072		19961024 19950607
IN Steiner, Joseph P.; Snyder, Solomon; Hamilton, Grego PA Guilford Pharmaceuticals Inc., USA; Johns Hopkins Un					US 1996-653905	A	19960528
Medicine SO PCT Int. Appl., 110 pp.		SE 9604097	A	19961208	WO 1996-US9561 SE 1996-4097		19960605 19961108
CODEN: PIXXD2					US 1995-474072	A	19950607 19960528
DT Patent LA English					US 1996-653905 WO 1996-US9561	w	19960605
FAN.CNT 3 PATENT NO. KIND DATE APPLICATION N	O. DATE	DK 9601256	A	19961220	DK 1996-1256 US 1995-474072		19961108 19950607
					US 1996-653905	A	19960528
PI WO 9640140 A1 19961219 WO 1996-US956 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH,		NO 9704290	A	19971204	WO 1996-US9561 NO 1997-4290		19960605 19970917
ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP,	KR, KZ, LK, LR, LS,	`			US 1995-474072		19950607 19960528
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, SE, SG	PL, PT, RO, RU, SD,				US 1996-653905 WO 1996-US9561	w	19960605
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG,		HK 1013254	A1	20000616	HK 1998-114579 US 1995-474072		19981222 19950607
US 1995-47407	2 A 19950607				US 1996-653905	A	19960528
US 1996-65390 US 5798355 A 19980825 US 1995-47407		PATENT FAMILY INFOR	MATION:		WO 1996-US9561	W	19960605
US 5696135 A 19971209 US 1996-65390	5 19960528	FAN 1997:165074 PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 1995-47407 AU 9661622 A1 19961230 AU 1996-61622							
AU 710423 B2 19990923 US 1995-47407	2 A 19950607	PI JP 08333256 JP 3060373	A2 B2	19961217 20000710	JP 1996-132866		19960430
US 1996-65390	5 A 19960528				US 1995-474072		19950607
WO 1996-US956 GB 2305605 A1 19970416 GB 1996-24258		US 5798355 CN 1187127	A A	19980825 19980708	US 1995-474072 CN 1996-194555		19950607 19960605
GB 2305605 B2 20000112 US 1995-47407	2 A 19950607	LT 4516	В	19990625	US 1995-474072 LT 1998-2		19950607 19980106
US 1996-65390	5 A 19960528		-	2,,,,,,,	US 1995-474072		19950607
WO 1996-US956 DE 19680255 T 19970605 DE 1996-19680		PAN 1998:17977 PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 1995-47407	2 A 19950607	PI US 5696135		19971209	US 1996-653905		19960528
US 1996-65390 WO 1996-US956					US 1995-474072	A2	19950607
EP 777478 A1 19970611 EP 1996-91922 EP 777478 B1 20011107	7 19960605	US 5798355 CA 2206824	A AA	19980825 19961219	US 1995-474072 CA 1996-2206824		19950607 19960605
R: BE, FR, GR, IE, IT, MC, NL		CA 2206824	c	20010814			
US 1995-47407 US 1996-65390					US 1995-474072 US 1996-653905		19950607 19960528
L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN WO 9640140 A1 19961219 WO 1996-US956 W. AL AN AT AU AZ BB BG BB BY CA CH		L7 ANSWER 6 OF 9			US 1996-653905		19960528
WO 9640140 AI 19961219 WO 1996-US956 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP,	1 19960605 CN, CZ, DE, DK, EE, KR, KZ, LK, LR, LS,	L7 ANSWER 6 OF 9 SE 9604097	CAPLUS COI	PYRIGHT 2005 19961208	US 1996-653905 SE 1996-4097 US 1995-474072	A	19960528 19961108 19950607
MO 9640140 A1 19961219 WO 1996-UE956 M: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, PI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, SE, SG	1 19960605 CN, CZ, DE, DK, EE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD,	SE 9604097	A	19961208	US 1996-653905 SE 1996-4097 US 1995-474072 US 1996-653905 WO 1996-US9561	A A A	19960528 19961108 19950607 19960528 19960605
MC 9640140 A1 19961219 MC 1996-US956 M: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, SE, SG RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK,	1 19960605 CN, CZ, DE, DK, EE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, FR, GB, GR,				US 1996-653905 SE 1996-4097 US 1995-474072 US 1996-653905	A A W	19960528 19961108 19950607 19960528
MO 9640140 A1 19961219 MO 1996-UE956 M: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, SE, SG RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, US 1995-47407	1 19960605 CN, CZ, DE, DK, EE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, FR, GB, GR, CI, CM, GA, GM	SE 9604097	A	19961208	US 1996-653905 SE 1996-4097 US 1995-474072 US 1996-653905 WO 1996-US9561 DK 1996-1256 US 1995-474072 US 1996-653905	A A W A A	19960528 19961108 19950607 19960528 19960605 19961108 19950607 19960528
MO 9640140 A1 19961219 MO 1996-UE956 M: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, PI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, SE, SG RM: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, US 1995-47407 WS 1996-63532 AU 9661622 A1 19961230 AU 1996-61623	1 19960605 CN, CZ, DE, DK, EE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, FR, GB, GR, CI, CM, GA, GM 2 A 19950607 5 A 19960528	SE 9604097	A	19961208	US 1996-653905 SE 1996-4097 US 1995-474072 US 1996-63905 WO 1996-US9561 DK 1996-1256 US 1996-474072 US 1996-653905 WO 1996-US9561 US 1997-787162	A A W A A W	19960528 19961108 19950607 19960528 19960605 19961108 19950607 19960528 19960605 19970123
MO 9440140 A1 19961219 WO 1996-U8956 M: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, PI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MM, MM, MN, NO, NZ, SE, SG RM: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, US 1995-47407 US 1996-65390	1 19960605 CN, CZ, DE, DK, EE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, PR, GB, GR, CI, CM, GA, GN 2 A 19950607 5 A 19960605	SE 9604097	A A	19961208	US 1996-653905 SE 1996-4097 US 1995-474072 US 1996-453905 DK 1996-1256 DK 1996-1256 US 1995-474072 US 1996-653905 WO 1996-US9561 US 1997-787162 US 1995-474072 US 1995-653905	Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y Y	19960528 19961108 19950607 19960528 19960605 19961108 19950607 19960528 19960605
MO 9640140 A1 19961219 WO 1996-U8956 M: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, PI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MM, MK, NO, NZ, SE, SG RM: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, US 1995-47407 AU 9661622 A1 19960230 AU 1996-66330 AU 710423 B2 19990923 US 1995-47407 US 1996-66390 US 1996-66390	1 19960605 CN, CZ, DE, DK, EE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, PR, GB, GR, CI, CM, GA, CM 2 A 19950607 5 A 19960528 19960605 2 A 19950607 5 A 19950607	SE 9604097	A A	19961208	US 1996-653905 SE 1996-4097 US 1995-474072 US 1996-653905 WO 1996-US9561 DK 1996-1256 US 1995-474072 US 1995-474072 US 1997-787162 US 1997-787162 US 1996-653905 US 1997-787163	A A W A A W	19960528 19950108 19950607 19960528 19960605 19961108 19950607 19960528 19970123 19950607 19960528 19970123
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W0 9440140 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MM, MX, NO, NZ, SE, SG RM: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CP, CQ, WS 1995-47407 WS 1996-65390 AU 9661622 AU 710423 B2 19990923 WS 1996-65390 GB 2305605 B2 20000112 WS 1996-65390 MO 1996-624258 GB 2305605 B2 20000112 WS 1996-65390 MO 1996-63590 MO 1996-19956 MO 19	1 19950605 CN, CZ, DE, DK, EE, KR, KZ, LK, LR, LS, LPL, PT, RO, RU, SD, ES, FI, FR, GB, GR, CI, CM, GA, GN 2 A 19950507 5 A 19950528 1 W 19950605 2 A 19950607 5 A 19960528 1 W 19960605 2 A 19950607 5 A 19960605 2 A 19950607 5 A 19960605 2 A 19950607 5 A 19950607 5 A 19960605 2 A 19950607 5 A 19950607 5 A 19950607 5 A 19950607 6 A 19950607 7 A 19960605 2 A 19950607 8 A 19950607 9 A 19950605	SE 9604097 DK 9601256 US 5843960 US 5846981 NO 9704290 LT 4516 LV 11986 ES 2194596 US 6022878 HK 1013254 AU 9948793 AU 740089	A A A A A A A A A A A A A A A A A A A	19961208 19961220 19981201 19981208 19971204 19990625 19980920 20031116 20000208 20000616 19991125 20011101	US 1996-653905 SE 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1997-6513905 WO 1996-US9561 US 1997-787162 US 1997-787162 US 1998-653905 WO 1996-US9561 US 1997-787162 US 1998-673905 WO 1996-US9561 UT 1998-2 US 1998-674072 US 1998-674072 US 1998-474072 US 1996-653905 UT 1998-2 US 1998-174072 US 1999-474072 US 1999-4553905 US 1999-174072 US 1999-4553905 US 1999-174072 US 1999-4553905 US 1999-174072	A A A A A A A A A A A A A A A A A A A	19960528 19950607 19960528 19960605 19960605 19960605 19960605 19970123 19960528 19960605 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19980528 19980106 19950607 19980528 19980106 19950607 19960528 19980106 19950607 19960528 19980106 19950607 19960528 19990106 19950607 19960528 19990106 19950607 19960528 19990106 19950607 19960528 19990106 19950607 19960528 19990106 19950607 19960528 19990106 19950607 19960528 19990106 19950607 19960528 19990106 19950607
W0 9440140 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, SE, SG RW: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CP, CQ, AU 9561622 AU 710423 B2 19990923 AU 9561622 AU 710423 B2 19990923 WS 1995-47407 US 1996-65390 W0 1996-US956 GB 2305605 B2 20000112 WS 1995-47407 US 1996-65390 W0 1996-US956 GB 19680255 T 19970605 DE 19680255 T 19970605 DE 19680255 T 19970605 EP 777478 B1 2001107 R: BE, FR, GR, IE, IT, MC, NL US 1995-47407 US 1996-65390 WO 1996-US956 US 1995-47407 US 1996-65300 WO 1996-US956 WO 1996	1 19950605 CN, CZ, DE, DE, DE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, FR, GB, GR, CI, CM, GA, GN 2 A 19950607 5 A 19960605 2 A 19950607 5 A 19960605 2 A 19950607 5 A 19950607 5 A 19960605 2 A 19950607 5 A 19960605	SE 9604097 DX 9601256 US 5843960 US 5846981 NO 9704290 LT 4516 LV 11986 ES 2194596 US 6022878 HK 1013254 AU 9948793 AU 740089 US 2002052372 US 2003114365	A A A A B B A1 A A1 A1 A1	19961208 19961220 19981201 19981208 19971204 19990625 19980920 20031116 20000208 20000616 19991125 20011101 20020502	US 1996-653905 SE 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1997-787162 US 1998-653905 US 1997-787163 US 1998-653905 WO 1998-US9561 US 1998-474072 US 1996-653905 WO 1996-US9561 UT 1998-174072 US 1996-653905 WO 1996-US9561 UT 1998-174072 US 1996-653905 WO 1996-US9561 US 1998-173330 US 1998-173330 US 1998-174072 US 1998-653905 WO 1996-653905 AU 1999-48793 US 1999-474072 US 1998-653905 US 1999-474072 US 1998-653905 US 1999-474072 US 1998-653905 US 1999-4553905 US 1999-7787162 US 1999-7787162 US 1996-653905 US 1999-7787162 US 1996-653905	A A A A A A A A A A A A A A A A A A A	19960528 19950607 19960528 19960605 19950607 19960628 19960605 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19980106 19980605 19980607 19980605 1999016 1999016 1999016 1999016 1999016 1999016 1999016 1999016 1999016 1999016 1999016 1999016 1999016 1999017 19960528 1999016 1999016 1999016 199900605 19990016 199900605 19990016 199900607 199900607 199900607 199900607 199900607 199900607 199900607 199900607 199900607
W0 9440140 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, SE, SG RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CP, CQ, AU 9561622 AU 9561622 AU 710423 B2 19990923 AU 1996-61622 AU 710423 B2 19990933 AU 1996-61622 B2 19990930 WS 1996-61622 WS 1996-19962 WS 1996-61622 WS 1996-6107 WS 1996-61622 WS 1996-61622 WS 1996-61622 WS 1996-61622 WS 1996-61622 WS 199	1 19950605 CN, CZ, DE, DE, DE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, FR, GB, GR, CI, CM, GA, GN 2 A 19950607 5 A 19960605 2 A 19950607 5 A 19960605 2 A 19960605 2 A 19960605 2 A 19980605 2 A 19950607 5 A 19960605	SE 9604097 DK 9601256 US 5843960 US 5846981 NO 9704290 LT 4516 LV 11986 ES 2194596 US 6022878 HK 1013254 AU 9948793 AU 740089 US 2002052372 US 2003114365	A A A B B A1 A1 A1 A1 A1	19961208 19961220 19981201 19981208 19971204 19990625 19980920 20031116 20000208 20000616 19991125 20011101 20020502 20030619	US 1996-653905 SE 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1997-787162 US 1998-653905 US 1997-787163 US 1997-474072 US 1996-653905 WO 1996-US9561 US 1997-490 US 1996-653905 WO 1996-US9561 LT 1998-1 US 1996-653905 US 1998-474072 US 1996-653905 US 1998-13330 US 1998-139305 US 1998-1393305 US 1998-1393305 US 1998-653905 US 1998-653905 US 1999-4553905 US 1999-4553905 US 1999-4553905 US 1999-4553905 US 1999-4553905 US 1998-133330 US 2002-2283132 US 1998-133330 US 1999-435323	A A A A A A A A A A A A A A A A A A A	19960528 19950607 19960528 19960605 19950607 19960628 19960605 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19980607 19980607 19980607 19980607 199960528 1999016 1999016 1999016 1999016 1999017 19960528 1999016 1999016 1999016 1999016 1999017 19960528 1999016 1999016 1999017 19960528 1999016 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960605 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960605 19990016 199900607 199900528 19990105 199900528 19990105 199900528 19990105
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W0 946140 A1 19961219 W0 1996-U8956 W1 AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, SE, SG RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CP, CQ, US 1995-47407 US 1996-65390 W0 1996-U8956 GB 2305605 B2 20000112 US 1995-47407 US 1996-65390 W0 1996-U8956 GB 2305605 B2 20000112 US 1995-47407 US 1996-65390 W0 1996-U8956 DE 19680255 T 19970615 DE 1996-1996-1996 EP 777478 A1 19970615 EP 1996-1996-1996 EP 777478 B1 2001107 R: BE, FR, GR, IE, IT, MC, NL US 1995-47407 US 1996-65390 W0 1996-U8956 CN 1187127 A 19980708 CN 1996-1996-1996-1996 CN 1187127 A 19980708 CN 1996-1996-1996-1996-1996-1996-1996-1996	1 19950605 CN, CZ, DE, DE, DE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, FR, GB, GR, CI, CM, GA, GN 2 A 19950607 5 A 19960605 2 A 19950607 5 A 19960605 2 A 19950607 5 A 19960605	SE 9604097 DK 9601256 US 5843960 US 5846981 NO 9704290 LT 4516 LV 11986 ES 2194596 US 6022878 HK 1013254 AU 9948793 AU 740089 US 2002052372 US 2003114365 IT 145021-65-4 14: 145021-65-7 RL: BAC (Biolo (Biological) ESEMUTY, unclass USES	A A A A B B A1 A1 A1 A1 B2 A1 A1	19961208 19961220 19981201 19981208 19971204 19990625 19980920 20031116 20000208 20000616 19991125 20011101 20020502 20030619	US 1996-653905 SE 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1997-787162 US 1998-653905 US 1997-787163 US 1997-474072 US 1996-653905 WO 1996-US9561 US 1997-490 US 1996-653905 WO 1996-US9561 LT 1998-1 US 1996-653905 US 1998-474072 US 1996-653905 US 1998-13330 US 1998-139305 US 1998-1393305 US 1998-1393305 US 1998-653905 US 1998-653905 US 1999-4553905 US 1999-4553905 US 1999-4553905 US 1999-4553905 US 1999-4553905 US 1998-133330 US 2002-2283132 US 1998-133330 US 1999-435323	A A A A A A A A A A A A A A A A A A A	19960528 19950607 19960528 19960605 19950607 19960628 19960605 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19980607 19980607 19980607 19980607 1999016 1999016 1999016 1999016 1999016 1999017 19960528 1999016 1999016 1999016 1999016 1999016 1999017 19960528 1999016 1999016 1999016 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 19960528 1999017 1999018
WO 946140	1 19950605 CN, CZ, DE, DK, EE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, FR, GB, GR, CI, CM, GA, GN 2 A 19950507 5 A 19960528 1 W 19960605 2 A 19950607 5 A 19960528 1 W 19960605 2 A 19950607 5 A 19960528 1 M 19960605 2 A 19950607 5 A 19960528 1 M 19960605 2 A 19950607 5 A 19960528 1 M 19960605 2 A 19950607 5 A 19960528 1 M 19960605 2 A 19950607 5 A 19960528 1 M 19960605 2 A 19950607 5 A 19960528 1 M 19960605 2 A 19950607 5 A 19960528 1 M 19960605 2 A 19950607 5 A 19960528 1 M 19960605 2 A 19950607 5 A 19960528 1 M 19960605	DX 9601256 US 5843960 US 5843960 US 5846981 NO 9704290 LT 4516 LV 11986 ES 2194596 US 6022878 HK 1013254 AU 9948793 AU 740089 US 2002052372 US 2003114365 IT 145021-65-4 14: 145021-68-7 RE BAC (Biological study, unclass USES (Uses)	A A A B B A1 A1 A1 A2 A1 A2 A1 A1 A2 A1 A1	19961208 19961220 19981201 19981208 19971204 19990625 19980920 20031116 20000208 20000616 19991125 20011101 20020502 20030619 3021-67-6 ity or effect (Therapeuti	US 1996-653905 SE 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1997-787162 US 1996-653905 WO 1996-US9561 US 1997-787162 US 1996-653905 WO 1996-US9561 US 1997-474072 US 1996-653905 WO 1997-4290 US 1995-474072 US 1996-653905 LT 1998-2 LT 1998-2 US 1996-653905 US 1995-474072 US 1996-653905 US 1998-133330 US 1996-653905 US 1997-787162 US 1996-653905 US 1999-4353333 US 1999-4353333 Ltor, except adverse) c use); BIOL (Biologo	A A A A A A A A A A A A A A A A A A A	19960528 19950607 19960528 19960605 19960605 19960605 19970123 19960605 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19980528 19980106 19980806 1999016 19950607 19960528 19980607 19960528 19980106 19950607 19960528 1999016 19950607 19960528 1999016 19950607 19960528 1999016 19950607 19960528 1999016 19950607 19960528 1999016 19950607 19960528 19990105 19990105 19990105 19990105 19990105 19990105 19990105
W0 940140 A1 19961219 W0 1996-U8956 W1 AL, AM, AT, AU, AZ, BB, BG, RB, BY, CA, CH, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, LT, LU, LV, MD, MG, MK, MN, MM, MK, NO, NZ, SE, SG RM: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CP, CQ, W1 1996-65390 AU 9661622 A1 1996123 W1 1996-65390 AU 710423 B2 19990233 W1 1996-65390 GB 2305605 A1 19970416 GB 1996-24258 GB 2305605 B2 20000112 W1 1996-65390 W0 1996-65390 W1 19	1 19950605 CN, CZ, DE, DE, DE, KR, KZ, LK, LR, LS, PL, PT, RO, RU, SD, ES, FI, FR, GB, GR, CI, CM, GA, GN 2 A 19950607 5 A 19960605 2 A 19950607 5 A 19960605 2 A 19950607 5 A 19960605	DX 9601256 US 5843960 US 5843960 US 5846981 NO 9704290 LT 4516 LV 11986 ES 2194596 US 6022878 HK 1013254 AU 9948793 AU 740089 US 2002052372 US 2003114365 IT 145021-65-4 14: 145021-68-7 RE BAC (Biological study, unclass USES (Uses)	A A A B B A1 A1 A1 A2 A1 A2 A1 A1 A2 A1 A1	19961208 19961220 19981201 19981208 19971204 19990625 19980920 20031116 20000208 20000616 19991125 20011101 20020502 20030619 3021-67-6 ity or effect (Therapeuti	US 1996-653905 SE 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1996-653905 WO 1996-US9561 US 1997-787162 US 1996-653905 WO 1996-US9561 US 1997-787163 US 1997-787163 US 1997-787163 US 1997-787163 US 1998-653905 WO 1996-US9561 LTI 1998-US9561 LTI 1998-US956	A A A A A A A A A A A A A A A A A A A	19960528 19950607 19960528 19960605 19960605 19960605 19970123 19960605 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19960528 19970123 19950607 19980528 19980106 19980806 1999016 19950607 19960528 19980607 19960528 19980106 19950607 19960528 1999016 19950607 19960528 1999016 19950607 19960528 1999016 19950607 19960528 1999016 19950607 19960528 1999016 19950607 19960528 19990105 19990105 19990105 19990105 19990105 19990105 19990105

ANSMER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) treatment of nervous system disorders.)
145021-65-4 CAPLUS
2-Piperidinecarboxamide, N-{(1S)-2-[(1S,2E)-4-(benzoyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-(2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-,
(2E,45)-4-[(28)-2-[[(128)-1-(2-(3-methoxyphenyl)2-oxoethyl]-2-piperidinyl]carbonyl]aminol-1-oxo-3-phenylpropyl]aminol-5methyl-2-hexnyl eater (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

145021-67-6 CAPLUS
2-Piperidinecarboxamide, N-[(1s)-2-[[(1s,2E)-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

The present invention relates to acylaminoaldehyde compds. of formula R4 -Q-NHCKRI-X-CMO [Q = one or two amino acid residual groups which may be substituted; R1 = hydrogen atom or an optionally substituted hydrocarbon or heterocyclic group; R4 = an optionally esterified carboxyl group or an acyl group; X = a optionally substituted straight-chain or branched divelent hydrocarbon group having a chain length of 1 to 4 atoms as the linear moiety], or salts thereof, which have strong cysteine protease inhibitory activities and are useful as prophylactic and therapeutic

agent
of various diseases, including bone diseases, caused by abnormal
exasperation of cystine protease, are prepared Thus, 2.4 g
N-tert-butoxycarbonyl-L-phenylalanyl-L-tryptophanal and 1.76 g
(formylmethylene)triphenylphosphorane were dissolved in 10 mL THP and 30
mL toluene and stirred for 15 h to give the title compound (I; R =
Boc-Phe).
The latter compound and I (R = PhCH2O2C-Leu-Leu) (II) in vitro showed

of 3.5 + 10-8 and 9.7 + 10-9 M, resp., against cathepsin L and that of 2.4 + 10-6 and 9.7 + 10-7 M, resp., against cathepsin B, resp. In a bone resorption inhibitory assay, they in vitro inhibited by 83 and 514, resp., the Ca release from fetal rat's forearm bones. A gelatin capsule formulation containing II was described. 1996:443908 CAPLUS 125:115147 DN 125:115147
TI Preparation of peptide aldehyde derivatives as cysteine protease inhibitors
IN Sonda, Taksehi; Pujisawa, Yukio; Yasuma, Tsuneo; Mizoguchi, Junji PA Takeda Chemical Industries, Ltd., Japan PCT Int. Appl., 85 pp.
COOEN: PIXXD2
DT Patent
LA English
PAN.CNT 1
PATENT NO

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		KZ,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,	RU,	SG,
		SI,	SK,	ŦJ,	TM,	TT.	UA,	US,	UZ,	VN							
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		LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	œ,	CI,	CM,	GA,	GN,	ML,	MR,	NE,
		SN,	TD,	TG													

JP 1994-231839 A 19940927 L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

145021-68-7 CAPLUS
2-Piperidinecarboxamide, 1-[2-(3-methoxypheny1)-2-oxoethy1]-N-[(1S)-2-[(1S, 2B)-1-(1-methy1ethy1)-4-(2-propenyloxy)-2-buteny1]amino]-2-oxo-1-(phenylmethy1)ethy1]-, (2S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

CA 2196182 AA 19960404 CA 1995-2196182 19950925

AU 9535341 A1 19960419 AU 1995-35341 19960927

AU 9535341 A1 19960419 AU 1995-35341 19950925

JP 08151355 A2 19960611 JP 1995-245957 19950925

JP 08151355 A2 19960611 JP 1995-245957 19950925

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

MARPAT 125:115147

OS MARPAT 125:115147
IT 178910-84-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of peptide aldehyde derivs. as cysteine protease inhibitors and

bitors and bone resorption inhibitors for treating bone diseases)
178910-84-4 CAPLUS
2-Pyridinecarboxamide, N-[1-{[[1-(1H-indol-3-ylmethyl)-4-oxo-2-butenyl]amino]carbonyl]-2-methylbutyl]-, [IS-(1R*(R*),2R*)]- (9CI) (CA INDEX NAME)

L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN GI

I is the most potent synthetic multidrug resistance (MDR) modulator of a series of compds. and is equivalent in potency to FK506, however, it is a thousand-fold less potent than FK506 vs. FKB9 inhibition. It is apparent that the structure component of the FK506 mol. that imparts functional immunosuppressive activity is not required for useful P-glycoprotein inhibition, since the synthetic FKBP inhibitors lack the structural element which impart functional activity.

1995:89458 CAPLUS

122:45705

122:45705
Investigation of the effects of synthetic, non-cytotoxic immunophilin inhibitors on MDR
Hauske, James R.; Kajiji, Shama; Dorff, Peter; Julin, Susan; DiBrino, Joseph; Paillet, Simone
Central Research Division, Pfizer Inc., Groton, CT., 06340, USA
Bioorganic & Medicinal Chemistry Letters (1994), 4(17), 2097-102
CODEN: BMCLE8; ISSN: 0960-894X
Journal
English
145021-58-5 145021-66-5 145021-67-6
145021-58-7
RL: BAC (Bological activity or effector, except adverse); BSU

AII

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

logical
study, unclassified); BIOL (Biological study)
 (synthetic noncytotoxic immunophilin inhibitors effect on multidrug
resistance)
145021-58-5 CAPLUS
2-Piperidinecarboxamide, N-[2-[[4-(acetyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, [2S-[2R*(R*(1R*,2E)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

145021-68-7 CAPLUS

laguar-ed-7 CAPLUS
2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[(15)-2-[(15,2E)-1-(1-methylethyl)-4-(2-propenyloxy)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-,
(2E,45)-4-[(28)-2-[([(28)-1-(2-(3-methoxyphenyl)2-oxoethyl)-2-piperidinyl]carbonyllaminol-1-oxo-3-phenylpropyl}amino]-5methyl-2-hexenyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

145021-67-6 CAPLUS
2-Piperidinecarboxamide, N-{(1S)-2-{\{(1S,2E)-3-iodo-1-(1-methylethyl)-2-propenyl|amino|-2-oxo-1-(phenylmethyl)ethyl}-1-{2-(3-methoxyphenyl)-2-oxoethyl}-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

- Small-mol: FK-506-binding protein (FKBP) inhibitors, e.g. I-(n = 1, 2) --

II (R = CO-Phe-OMe, trans-CH:CHCH202CCF3, trans-CH:CICH202CCF3) were prepared with inhibitory activity ranging from micromolar to nanomolar.

design of these inhibitors derives from a structural anal. of the substrates for FKBP and cyclophilin. As a consequence of this anal. two key observations were made, namely: (1) aminoketone moieties are suitable as FKBP recognition elements at the PI-PI2 site, and (2) the P32-P42 site will accept a trans-olefin as a suitable mimetic of a peptide moiety.

preparation of these nonpeptide inhibitors is readily accomplished by a protocol which includes the synthesis of chiral propargylic amines and their subsequent conversion into vinyl zirconium reagents.

1993:22591 CAPLUS

118:22591

Design and synthesis of novel FKBP inhibitors
Hauske, James R.; Dorff, Peter; Julin, Susan; DiBrino, Joseph; Spencer,
Robin; Williams, Rebecca
Cent. Res., Div. Pfizer Inc., Groton, CT, 06340, USA
Journal of Medicinal Chemistry (1992), 35(23), 4284-96
CODEN: JMCMAR; ISSN: 0022-2623
Journal
English

Journal
English
145021-57-4P 145021-58-5P 145021-59-6P
145021-60-9P 145021-61-0P 145021-62-1P
145021-60-9P 145021-61-0P 145021-62-1P
145021-65-5P 145021-661-3P 145021-66-7P
145108-13-0P 145108-14-1P 145108-15-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and PK-506 binding protein inhibitory activity of)
145021-57-4 CAPLUS
2-Hexenoic acid, 4-[12-[[11-[2-(3-methoxyphenyl)-2-oxoethyl]-2-piperidinyl]-carbonyl]amino]-1-oxo-3-phenylpropyl] memio]-5-methyl-, ethyl
ester, [25-[2R*[R*(2E,4R*)]]]- (9CI) (CA INDEX NAME)

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

145021-58-5 CAPLUS
2-Piperidinecarboxamide, N-[2-{[4-(acetyloxy)-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, [2S-(2R*[R*(1R*,2E)])]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

145021-59-6 CAPLUS 145021-59-6 CAPLUS
2-Piperidinecarboxamide, N-[2-[[4-{acetyloxy}-1-(1-methylethyl)-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(2-naphthalenyl)-2-oxoethyl]-, [2S-[2R*[R*(1R*,2E)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

145021-62-1 CAPLUS
2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-{[4-methyl-1-(1-methylethyl)-6-oxo-2-heptenyl]amino]-2-oxo-1(phenylmethyl)ethyl)-, [2S-[2R*[R*(1R*,2E,4S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
-Double-bond geometry-as shown.

145021-63-2 CAPLUS
2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-{[1-(1-methylethyl)-3-(3-oxocyclopentyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl)-, [2S-[2R*[R*[1R*,2E,3[S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

145021-60-9 CAPLUS
2-Piperidinecarboxamide, N-[2-[[1-{1-methylethyl}-4-oxo-4-[(1-phenylethyl)amino]-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(2-naphthalenyl)-2-oxoethyl]-, (2S-[2R*(R*(1R*,2E,4(5*)]]]-, (9CI) (CA

Absolute stereochemistry.
Double bond geometry as shown.

145021-61-0 CAPLUS
2-Piperidinecarboxamide, 1-{2-(3-methoxypheny1)-2-oxoethy1}-N-{2-{[1-(1-methylethyl)-4-oxo-4-[(1-phenylethyl)amino]-2-butenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-{2R*[R*[1R*, 2E, 4(S*)]]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

145021-64-3 CAPLUS 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-

methylethyl)-3-(tetrahydro-2-oxo-2H-pyran-4-yl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl)-, (25-[2R*[R*[1R*, 2E, 3 (S*)]]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 145021-66-5 CAPLUS
CN Acetic acid, trifluoro-,
(2E,4S)-4-[[(2S)-2-[[(2S)-1-[2-(3-methoxyphenyl)2-oxocethyl]-2-piperidinyl]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-5methyl-2-hexenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 145021-67-6 CAPLUS
CN 2-Piperidinecarboxemide, N-[(1S)-2-[([1S,2E]-3-iodo-1-(1-methylethyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-1-[2-(3-methoxyphenyl)-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 145108-14-1 CAPLUS

CN 2-Piperidinecarboxemide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-methyl)-2-(3-oxocyclopentyl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*[2R*,2E,3(R*)]]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 145108-15-2 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[1-(1-

methylethyl) -3-(tetrahydro-2-oxo-2H-pyran-4-yl)-2-propenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*{R*{IR*,2E,3(R*)]}}}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 145021-68-7 CAPLUS
CN 2-Piperidinecerboxamide, 1-{2-{3-methoxypheny1}-2-oxoethy1}-N-{{15}-2{{(15,28}-1-{1-methylethyl}-4-{2-propenyloxy}-2-butenyl}amino}-2-oxo-1{phenylmethyl}ethyl}-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 145108-13-0 CAPLUS
CN 2-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)-2-oxoethyl]-N-[2-[[4-methyl-1-(1-methylethyl)-6-oxo-2-heptenyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, [2S-[2R*[R*(1R*,2E,4R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

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